

-28-

CM We claim:

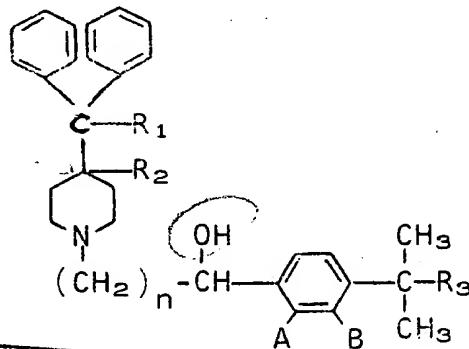
CLAIMS

1

1. A compound of the formula

TC290X

2

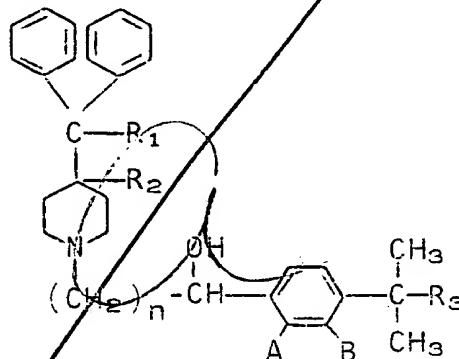
PS₃

wherein R₁ represents hydrogen or hydroxy; R₂ represents hydrogen; or R₁ and R₂ taken together form a second bond between the carbon atoms bearing R₁ and R₂; n is an integer of from 1 to 5; R₃ is -CH₃, -CH₂OH,

-COOH or -COOalkyl wherein the alkyl moiety has from 1 to 6 carbon atoms and is straight or branched; each of A and B is hydrogen or hydroxy; with the provisos that at least one of A or B is hydrogen and one of A or B is other than hydrogen when R₃ is -CH₃; and pharmaceutically acceptable salts and individual optical isomers thereof.

1

2. An essentially pure compound of the formula

Me
MeC-10-10-10
27-27-27
4-19-29

3 wherein R₁ represents hydrogen or hydroxy; R₂ represents hydrogen; or R₁ and R₂ taken together form a second bond between the carbon atoms bearing R₁ and R₂; n is an

6 integer of from 1 to 5; R₃ is -CH₃, -CH₂OH,
7 -COOH or -COOalkyl wherein the alkyl moiety has from
8 1 to 6 carbon atoms and is straight or branched; each of
9 A and B is hydrogen or hydroxy; with the provisos that
10 at least one of A or B is hydrogen and one of A or B is
11 other than hydrogen when R₃ is -CH₃; and pharmaceutically
12 acceptable salts and individual optical isomers thereof.

1 ~~2.~~ A compound of claim 1 wherein R₁ is hydrogen or
2 R₁ and R₂ taken together form a second bond between the
3 carbon atoms bearing R₁ and R₂.

1 ~~4. A compound of claim 2 wherein R₁ is hydroxy or
2 R₁ and R₂ taken together form a second bond between the
3 carbon atoms bearing R₁ and R₂.~~

0 1 ~~5. A compound of claim ²₃ or 4 wherein n is 3 or
2 4.~~

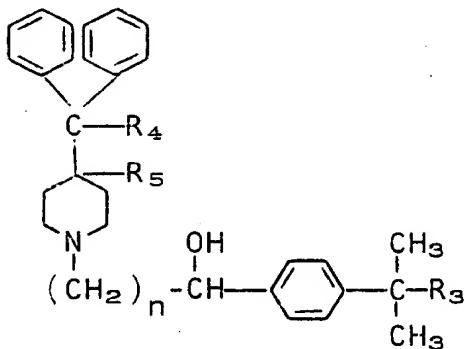
~~6. A compound of claim ²₃ or 4 wherein R₃ is -COO-~~
2 alkyl.

~~7. A compound of claim ²₃ or 4 wherein R₃ is -COOH.~~

~~8. A compound of claim 1 or 2 of the formula~~

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Toslox
2



PS
4 wherein R_4 is hydroxy and R_5 is hydrogen, or R_4 and R_5
5 taken together form a second bond between the carbon atoms
6 bearing R_4 and R_5 ; n is the integer 3; and R_3 is $-\text{COOH}$
M or a pharmaceutically acceptable salt thereof.

Me
1 9. A compound of claim 1 or 2 which is α,α -diphenyl-
2 1-(4-(4-hydroxy-tert-butyl)phenyl)-4-hydroxybutyl-4-
3 piperidinemethanol or a pharmaceutically acceptable salt
4 thereof.

8 a
1 10. A compound of claim 1 ~~or 2~~ which is ethyl 4-[4-
2 [4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
3 α,α -dimethylbenzene acetate or a pharmaceutically accept-
4 able salt thereof.

8
1 11. A compound of claim 1 ~~or 2~~ which is 4-[4-[4-
2 (hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
3 α,α -dimethylbenzeneacetic acid or a pharmaceutically
4 acceptable salt thereof.

Me
1 12. A compound of claim 1 or 2 which is α,α -diphenyl-
2 1-(4-(4-tert-butyl-2-hydroxy)phenyl)-4-hydroxybutyl-4-
3 piperidinemethanol or a pharmaceutically acceptable salt
4 thereof.

8
1 13. A compound of claim 1 ~~or 2~~ which is 4-[4-[4-

2 (hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]
 3 α,α -dimethyl-(3-hydroxybenzene)acetic acid or a pharmaceu-
 4 tically acceptable salt thereof.

1 14. ¹⁰ A pharmaceutical composition in unit dosage
 a 2 form comprising ^{an effective antiallergic amount of} a compound of claim 1 or 2 and a signifi-
 3 cant amount of a pharmaceutically acceptable carrier.

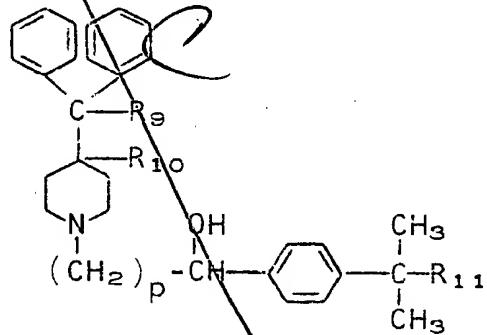
1 15. ¹¹ A method of treating allergic reactions in a
 2 patient in need thereof which comprises administering to
 3 said patient an effective amount of a compound of claim
 a 4 1 or 2.

1 16. A process for preparing a compound of claim 1
 2 or 2 which comprises

3 (a) when R_9 is $-CH_3$, $-COOH$ or $-COOalkyl$ and B is
 4 hydrogen, reducing the corresponding ketone and selectively
 5 followed by base hydrolysis as desired;

6 (b) when R_9 is $-CH_2OH$ and B is hydrogen, reducing
 7 the corresponding ketone acid or ester or alcohol acid
 8 or ester;

9 (c) when B is hydroxy, treating a derivative of
 the formula



10 11 wherein R_9 is hydrogen or trifluoroacetoxy; R_{10} is
 12 hydrogen; or R_9 and R_{10} taken together form a second bond
 13 between the carbon atoms bearing R_9 and R_{10} ; p is an
 14 integer of from 1 to 5; and R_{11} is methyl or $-COOalkyl$

15 wherein the alkyl moiety has from 1 to 6 carbon atoms
16 and is straight or branched; with a slight excess of
17 thallium trifluoroacetate in trifluoroacetic acid,
18 followed by 1 equivalent of lead tetraacetate in trifluoro-
19 acetic acid and 1 equivalent of triphenylphosphine, and
20 when R_3 is $-COOalkyl$ treating the thus formed compound
21 wherein R_3 is $-COOH$ with boron trifluoride etherate in
22 an alcoholic solvent; and
23 (d) when a pharmaceutically acceptable salt is
24 desired reacting the thus formed compound with a pharma-
25 ceutically acceptable acid or base.